MAIL STOP RCE
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IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Application of:

DIETRICH, et al.

Serial No.: 09/980492 Art Unit: 1615

Filed: December 4, 2001 Examiner: SHEIKH, H.

For: **NOVEL PREPARATION AND ADMINISTRATION FORM COMPRISING AN ACID-LABILE ACTIVE COMPOUND**

Appendix A

1. (Currently amended) An administration form for acid-labile active compounds, comprising pharmaceutical excipients and multiple individual active compound units, wherein the acid-labile active compound is selected from the group consisting of an acid-labile active proton pump inhibitor, a salt of an acid-labile proton pump inhibitor with a base, and a hydrate of a salt of an acid-labile proton pump inhibitor with a base, and is present in the individual active compound units in a matrix made of a mixture comprising at least one fatty alcohol and at least one solid paraffin, wherein said individual active compound units are microspheres.

2. (Currently amended) An administration form for acid-labile active compounds, comprising pharmaceutical excipients and

multiple individual active compound units, wherein the acid-labile active compound is present in the individual active compound units in a matrix made of a mixture comprising at least one triglyceride and at least one solid paraffin or in a matrix made of a mixture comprising at least one fatty acid ester and at least one solid paraffin, wherein said individual active compound units are microspheres.

3. (Cancelled) ~~The administration form as claimed in claim 1, wherein the individual active compound units are microspheres.~~

4. (Previously amended) The administration form as claimed in claim 1, wherein the active compound present is an acid-labile proton pump inhibitor.

5. (Original) The administration form as claimed in claim 4, wherein the acid-labile proton pump inhibitor present is pantoprazole.

6. (Previously amended) The administration form as claimed in claim 1, wherein, in the mixture, one or more further excipients, selected from the group consisting of polymers, sterols and basic compounds, is/are present in the individual

active compound units.

7. (Original) The administration form as claimed in claim 6, wherein the polymer is selected from the group consisting of povidone, vinylpyrrolidone/vinyl acetate copolymer, polyvinyl acetate, cellulose ethers, cellulose esters, methacrylic acid/methyl methacrylate copolymer or methacrylic acid/ethyl methacrylate copolymer or wherein the polymer is mixtures thereof.

8. (Original) The administration form as claim in claim 6, wherein the sterol is selected from the group consisting of ergosterol, stigmasterol, sitosterol, brassicasterol, campesterol, cholesterol and lanosterol or wherein the sterol is mixtures thereof.

9. (Previously amended) The administration form as claimed in claim 6, wherein the basic compounds are inorganic basic salts, amines or fatty amines.

10. (Previously amended) The administration form as claimed in claim 1, which consists of suspensions, gels, tablets, coated tablets, multicomponent tablets, effervescent tablets,

rapidly disintegrating tablets, powders in sachets, sugar-coated tablets, capsules or suppositories.

11. (Currently amended) An active compound unit comprising an acid-labile active compound, wherein the acid-labile active compound in the active compound unit is selected from the group consisting of an acid-labile active proton pump inhibitor, a salt of an acid-labile proton pump inhibitor with a base, and a hydrate of a salt of an acid-labile proton pump inhibitor with a base, and is present in a matrix made of a mixture comprising at least one fatty alcohol and at least one solid paraffin, wherein said active compound unit is a microsphere.

12. (Currently amended) An active compound unit comprising an acid-labile active compound, wherein the acid-labile active compound in the active compound unit is present in a matrix made of a mixture comprising at least one fatty acid ester and at least one solid paraffin or in a matrix made of a mixture comprising at least one triglyceride and at least one solid paraffin, wherein said active compound unit is a microsphere.

13. (Previously amended) The active compound unit as claimed

in claim 11, wherein one or more further excipients, selected from the group consisting of polymers, sterols and basic compounds, is/are present in the matrix.

14. (Previously amended) The active compound unit as claimed in claim 11, wherein the active compound present is an acid-labile proton pump inhibitor.

15. (Currently amended) The active compound unit as claimed in claim 11, ~~which consists of a~~ wherein the microsphere ~~having~~ has a particle size range of 50-800 µm.

16. (Currently amended) A process for the production of an active compound unit in the form of a microsphere comprising an acid-labile active compound, where the acid-labile active compound is present in the microsphere in a matrix comprising at least one fatty alcohol, ~~by production of~~ comprising producing drops of a solution or dispersion of the acid-labile active compound in at least one fatty alcohol by means of vibrating nozzles and ~~by solidification of~~ solidifying the drops formed in a suitable medium.

17. (Currently amended) A microsphere ~~obtainable~~ prepared by

the process as claimed in claim 16.

18. (Currently amended) A process for the production of an active compound unit in the form of a microsphere comprising an acid-labile active compound, where the acid-labile active compound is present in the microsphere in a matrix made of a mixture comprising at least one fatty alcohol and at least one solid paraffin, at least one triglyceride and at least one solid paraffin or at least one fatty acid ester with at least one solid paraffin, comprising the following steps:

a. ~~preparation of~~ preparing a solution or dispersion of the acid-labile active compound in the fatty alcohol and paraffin, triglyceride and paraffin or fatty acid ester and paraffin;

b. prilling ~~of~~ the liquid phase from (a); and

c. ~~solidification of~~ solidifying the drops formed in a suitable medium.

19. (Original) The process as claimed in claim 18, where the prilling is carried out by means of vibrating nozzles, the liquid phase flowing to the nozzle being kept at a constant temperature and the solidification of the drops taking place in a suitable cooling medium after stabilization thereof by

sudden quenching.

20. (Currently amended) A microsphere ~~obtainable~~ prepared by the process as claimed in claim 18.

21. (Previously presented) The administration form as claimed in claim 1, wherein the proton pump inhibitor is selected from the group consisting of omeprazole, pantoprazole, lansoprazole and rabeprazole.

22. (Previously presented) The administration form as claimed in claim 1, wherein the proton pump inhibitor is pantoprazole sodium sesquihydrate, (-)-pantoprazole sodium sesquihydrate, omeprazole magnesium, omeprazole, esomeprazole magnesium or esomeprazole.

23. (Previously presented) The administration form as claimed in claim 1, wherein the proton pump inhibitor is pure enantiomer.

24. (Previously presented) The administration form as claimed in claim 1, wherein the proton pump inhibitor is esomeprazole or (-)-pantoprazole.

25. (Previously presented) The administration form as claimed in claim 3, wherein the microspheres have a particle size range of 50-500 µm.

26. (Previously presented) The administration form as claimed in claim 3, wherein the microspheres have a particle size range of 50-400 µm.

27. (Previously presented) The administration form as claimed in claim 26, wherein the microspheres are monomodal microspheres.

28. (Previously presented) The administration form as claimed in claim 27, wherein the microspheres have a particle size range of 50-200 µm.

29. (Previously presented) The administration form as claimed in claim 1, wherein the fatty alcohol is selected from the group consisting of cetyl alcohol, myristyl alcohol, lauryl alcohol, stearyl alcohol and mixtures thereof.

30. (Previously presented) The administration form as claimed

in claim 2, wherein the triglyceride is selected from the group consisting of tristearate, tripalmitate, trimyristate and mixtures thereof.

31. (Previously presented) The administration form as claimed in claim 2, wherein the fatty acid ester is cetyl palmitate.

32. (Previously presented) The administration form as claimed in claim 1, wherein the solid paraffin is paraffinum solidum or ozocerite.

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33. (Previously presented) The active compound unit as claimed in claim 11, wherein the proton pump inhibitor is selected from the group consisting of omeprazole, pantoprazole, lansoprazole and rabeprazole.

34. (Previously presented) The active compound unit as claimed in claim 11, wherein the proton pump inhibitor is pantoprazole sodium sesquihydrate, (-)-pantoprazole sodium sesquihydrate, omeprazole magnesium, omeprazole, esomeprazole magnesium or esomeprazole.

35. (Previously presented) The active compound unit as

claimed in claim 11, wherein the proton pump inhibitor is pure enantiomer.

36. (Previously presented) The active compound unit as claimed in claim 11, wherein the proton pump inhibitor is esomeprazole or (-)-pantoprazole.

37. (Previously presented) The active compound unit as claimed in claim 15, wherein the microsphere has a particle size range of 50-500 µm.

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38. (Previously presented) The active compound unit as claimed in claim 15, wherein the microsphere has a particle size range of 50-400 µm.

39. (Previously presented) The active compound unit as claimed in claim 38, wherein the microsphere is a monomodal microsphere.

40. (Previously presented) The active compound unit as claimed in claim 38, wherein the microsphere has a particle size range of 50-200 µm.

41. (Previously presented) The active compound unit as claimed in claim 11, wherein the fatty alcohol is selected from the group consisting of cetyl alcohol, myristyl alcohol, lauryl alcohol, stearyl alcohol and mixtures thereof.

42. (Previously presented) The active compound unit as claimed in claim 12, wherein the triglyceride is selected from the group consisting of tristearate, tripalmitate, trimyristate and mixtures thereof.

43. (Previously presented) The active compound unit as claimed in claim 12, wherein the fatty acid ester is cetyl palmitate.

44. (Previously presented) The active compound unit as claimed in claim 11, wherein the solid paraffin is paraffinum solidum or ozocerite.

45. (Previously presented) The administration form as claimed in claim 9, wherein the inorganic basic salts are selected from the group consisting of ammonium carbonate and sodium carbonate.

46. (Previously presented) The administration form as claimed in claim 9, wherein the amines are selected from the group consisting of meglumine, di- or triethylamine and TRIS (2-amino-2-hydroxymethyl-1,3-propandiol).

47. (Previously presented) The administration form as claimed in claim 9, wherein the fatty amine is stearylamine.